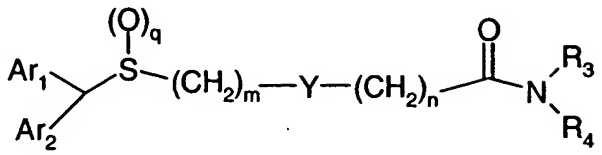
WHAT IS CLAIMED IS:

1. A compound of formula (I-A):



(I-A)

wherein:

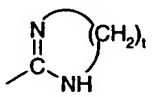
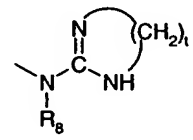
Ar_1 and Ar_2 are each independently selected from C_6-C_{10} aryl or heteroaryl;

wherein each of Ar_1 or Ar_2 may be independently optionally substituted with 1-3 substituents independently selected from:

a) H, C_6-C_{10} aryl, heteroaryl, F, Cl, Br, I, -CN, -CF_3, -NO_2, -OH, -OR_7, -$O(CH_2)_pNR_9R_{10}$, -$OC(=O)R_7$, -$OC(=O)NR_9R_{10}$, -$O(CH_2)_pOR_8$, -CH_2OR_8, -NR_9R_{10}, -$NR_8S(=O)_2R_7$, -$NR_8C(=O)R_7$, or –$NR_8C(=S)R_7$;

b) -CH_2OR_{11};

c) –$NR_8C(=O)NR_9R_{10}$, -$NR_8C(=S)NR_9R_{10}$, -CO_2R_{12}, -$C(=O)R_{13}$, -$C(=O)NR_9R_{10}$, -$C(=S)NR_9R_{10}$, -$CH=NOR_{12}$, -$CH=NR_7$, -$(CH_2)_pNR_9R_{10}$, -$(CH_2)_pNHR_{11}$, -$CH=NNR_{12}R_{12A}$, -$C(=NR_8)NR_{8A}R_{8B}$ -$NR_8C(=NH)R_{8A}$, -


or

;

$NR_8C(=NH)NR_{8A}R_{8B}$,

d) -$S(O)_yR_7$, -$(CH_2)_pS(O)_yR_7$, -$CH_2S(O)_yR_7$; and

e) C_1-C_8 alkyl, C_2-C_8 alkenyl, or C_2-C_8 alkynyl, where:

1) each alkyl, alkenyl, or alkynyl group is unsubstituted; or

2) each alkyl, alkenyl or alkynyl group is independently substituted with 1 to 3 groups independently selected from C_6-C_{10} aryl, heteroaryl, F, Cl, Br, I, CF_3, -CN, -NO_2, -OH, -OR_7, -CH_2OR_8, -NR_9R_{10}, -O-$(CH_2)_p$-OH, -S-$(CH_2)_p$-OH, - $X_1(CH_2)_pOR_7$, $X_1(CH_2)_pNR_9R_{10}$, - $X_1(CH_2)_pC(=O)NR_9R_{10}$, -$X_1(CH_2)_pC(=S)NR_9R_{10}$, -

$X_1(CH_2)_pOC(=O)NR_9R_{10}$, $-X_1(CH_2)_pCO_2R_8$, $-X_1(CH_2)_pS(O)_yR_7$, $-X_1(CH_2)_pNR_8C(=O)NR_9R_{10}$, $-C(=O)R_{13}$, $-CO_2R_{12}$, $-OC(=O)R_7$, $-C(=O)NR_9R_{10}$, $-OC(=O)NR_{12}R_{12A}$, O-tetrahydropyranyl, $-C(=S)NR_9R_{10}$, $-CH=NNR_{12}R_{12A}$, $-CH=NOR_{12}$, $-CH=NR_7$, $-CH=NNHCH(N=NH)NH_2$, $-NR_8CO_2R_7$, $-NR_8C(=O)NR_9R_{10}$, $-NR_8C(=S)NR_9R_{10}$, $-NHC(=NH)NH_2$, $-NR_8C(=O)R_7$, $-NR_8C(=S)R_7$, $-NR_8S(=O)_2R_7$, $-S(O)_yR_7$, $-S(=O)_2NR_{12}R_{12A}$, $-P(=O)(OR_8)_2$, $-OR_{11}$, and a C_5-C_7 monosaccharide where each hydroxyl group of the monosaccharide is independently either unsubstituted or is replaced by H, C_1-C_4 alkyl, C_1-C_4 alkoxy, or $-O-C(=O)R_7$;

X_1 is -O-, -S-, $-N(R_8)-$;

Y is selected from C_1-C_4 alkylene, $-C(R_1)(R_2)-$, C_6-C_{10} arylene, heteroarylene, C_3-C_8 cycloalkylene, heterocyclylene, -O-, $-N(R_8)-$, $-S(O)_y$, $-CR_{8A}=CR_{8B}-$, -CH=CH-$CH(R_8)-$, $-CH(R_8)-CH=CH-$, or $-C\equiv C-$; with the proviso that when Y is -O-, $-N(R_8)-$, or $-S(O)_y$, m and n cannot be 0;R_3 and R_4 are the same or different and are each selected from H, C_1-C_6 alkyl, -OH, and $-CH(R_6)-CONR_{8A}R_{8B}$, provided that R_3 and R_4 are not both OH; or R_3 and R_4, together with the nitrogen to which they are attached, form a 3-7 member heterocyclic ring;

R_3 and R_4 are the same or different and are each selected from H, C_1-C_6 alkyl, -OH, and $-CH(R_6)-CONR_{8A}R_{8B}$, provided that R_3 and R_4 are not both OH; or R_3 and R_4, together with the nitrogen to which they are attached, form a 3-7 member heterocyclic ring;

R_6 is H, C_1-C_4 alkyl or the side chain of an α-amino acid;

R_7 is C_1-C_6 alkyl, C_6-C_{10} aryl, or heteroaryl;

R_8, R_{8A} and R_{8B} are each independently H, C_1-C_4 alkyl, or C_6-C_{10} aryl;

R_9 and R_{10} are independently selected from H, C_1-C_4 alkyl, and C_6-C_{10} aryl; or R_9 and R_{10} together with the nitrogen to which they are attached, form a 3-7 member heterocyclic ring;

R_{11} is the residue of an amino acid after the hydroxyl group of the carboxyl group is removed;

R_{12} and R_{12A} are each independently selected from H, C_1-C_6 alkyl, cycloalkyl, C_6-C_{10} aryl, and heteroaryl; or R_{12} and R_{12A}, together with the nitrogen to which they are attached, form a 5-7 member heterocyclic ring;

R_{13} is H, C_1-C_6 alkyl, cycloalkyl, C_6-C_{10} aryl, heteroaryl, -C(=O)R_7, -C(=O)NR_9R_{10}, or -C(=S)NR_9R_{10};

m is 0, 1, 2 or 3;

n is 0, 1, 2 or 3;

p is from 1, 2, 3, or 4;

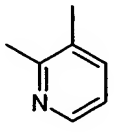
q is 0, 1, or 2;

t is 2, 3, or 4;

y is 0, 1 or 2;

with the proviso that when Ar_1 is phenyl and Ar_2 is phenyl or pyridyl, then Y cannot be C_1-C_4 alkylene;

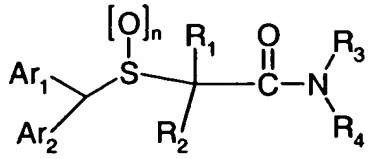
with the further proviso that when Ar_1 and Ar_2 are phenyl, q=1, m and n = 0, Y is



, and R_3 is H, then R_4 is not C_1-C_6 alkyl;

and the stereoisomeric forms, mixtures of stereoisomeric forms, or pharmaceutically acceptable salt and ester forms thereof.

2. A compound of formula (I):



(I)

wherein

Ar_1 and Ar_2 are the same or different and are each selected from thiophene, isothiazole, phenyl, pyridyl, oxazole, isoxazole, thiazole, imidazole, or other five or six

membered heterocycles comprising 1-3 atoms of -N-, -O-, or -S-, provided that Ar_1 and Ar_2 are not both phenyl and when Ar_1 is phenyl, Ar_2 is not pyridyl;

R_1-R_4 are the same or different and are each selected from H, lower alkyl, -OH, -CH(R_6)-$CONR_{6A}R_{6B}$, or any of R_1-R_4 can be taken together to form a 3-7 member carbocyclic or heterocyclic ring, provided that R_3 and R_4 are not both OH; R_{6A} and R_{6B} are independently H or lower alkyl; and

n is 0, 1, or 2; and

in addition, each of Ar_1 or Ar_2 may be independently optionally substituted with one or more substituents independently selected from:

a) H, aryl, heterocyclyl, F, Cl, Br, I, -CN, -CF_3, -NO_2, -OH, -OR_7, -$O(CH_2)_pNR_9R_{10}$, -$OC(=O)R_7$, -$OC(=O)NR_9R_{10}$, -$O(CH_2)_pOR_8$, -CH_2OR_8, -NR_9R_{10}, -$NR_8S(=O)_2R_7$, -$NR_8C(=O)R_7$, or –$NR_8C(=S)R_7$;

b) -CH_2OR_{11}, where R_{11} is the residue of an amino acid after the hydroxyl group of the carboxyl group is removed;

c) –$NR_8C(=O)NR_9R_{10}$, -$NR_8C(=S)NR_9R_{10}$, -CO_2R_{12}, -$C(=O)R_{12}$, -$C(=O)NR_9R_{10}$, -$C(=S)NR_9R_{10}$, -$CH=NOR_{12}$, -$CH=NR_7$, -$(CH_2)_pNR_9R_{10}$, -$(CH_2)_pNHR_{11}$, or -$CH=NNR_{12}R_{12A}$, where R_{12} and R_{12A} are the same or different and each are independently selected from H, alkyl of 1 to 4 carbons, -OH, alkoxy of 1 to 4 carbons, -$OC(=O)R_7$, -$OC(=O)NR_9R_{10}$, -$OC(=S)NR_9R_{10}$, -$O(CH_2)_pNR_9R_{10}$, -$O(CH_2)_pOR_8$, substituted or unsubstituted arylalkyl having from 6 to 10 carbons, and substituted or unsubstituted heterocyclylalkyl;

d) -$S(O)_yR_{12}$, -$(CH_2)_pS(O)_yR_7$, -$CH_2S(O)_yR_{11}$ where y is 0, 1 or 2; and

e) alkyl of 1 to 8 carbons, alkenyl of 2 to 8 carbons, or alkynyl of 2 to 8 carbons, where:

1) each alkyl, alkenyl, or alkynyl group is unsubstituted; or

2) each alkyl, alkenyl or alkynyl group is substituted with 1 to 3 groups selected from aryl of 6 to 10 carbons, heterocyclyl, arylalkoxy, heterocycloalkoxy, hydroxylalkoxy, alkyloxy-alkoxy, hydroxyalkylthio, alkoxy-alkylthio, F, Cl, Br, I, -CN, -NO_2, -OH, -OR_7, -$X_2(CH_2)_pNR_9R_{10}$, -$X_2(CH_2)_pC(=O)NR_9R_{10}$, -$X_2(CH_2)_pC(=S)NR_9R_{10}$, -$X_2(CH_2)_pOC(=O)NR_9R_{10}$, -

$X_2(CH_2)_pCO_2R_7$, $-X_2(CH_2)_pS(O)_yR_7$, $-X_2(CH_2)_pNR_8C(=O)NR_9R_{10}$, $-OC(=O)R_7$, $-OC(=O)NHR_{12}$, O-tetrahydropyranyl, $-NR_9R_{10}$, $-NR_8CO_2R_7$, $-NR_8C(=O)NR_9R_{10}$, $-NR_8C(=S)NR_9R_{10}$, $-NHC(=NH)NH_2$, $-NR_8C(=O)R_7$, $-NR_8C(=S)R_7$, $-NR_8S(=O)_2R_7$, $-S(O)_yR_7$, $-CO_2R_{12}$, $-C(=O)NR_9R_{10}$, $-C(=S)NR_9R_{10}$, $-C(=O)R_{12}$, $-CH_2OR_8$, $-CH=NNR_{12}R_{12A}$, $-CH=NOR_{12}$, $-CH=NR_7$, $-CH=NNHCH(N=NH)NH_2$, $-S(=O)_2NR_{12}R_{12A}$, $-P(=O)(OR_8)_2$, $-OR_{11}$, and a monosaccharide of 5 to 7 carbons where each hydroxyl group of the monosaccharide is independently either unsubstituted or is replaced by H, alkyl of 1 to 4 carbons, alkylcarbonyloxy of 2 to 5 carbons, or alkoxy of 1 to 4 carbons, where X_2 is O, S, or NR_8; where

R_7 is substituted or unsubstituted alkyl, substituted or unsubstituted aryl, or substituted or unsubstituted heterocyclyl;

R_8 is H or alkyl having from 1 to 4 carbons;

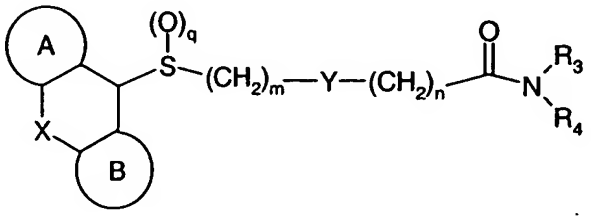
p is from 1 to 4; and where either

1) R_9 and R_{10} are each independently H, unsubstituted alkyl of 1 to 4 carbons, or substituted alkyl; or

2) R_9 and R_{10} together form a linking group of the formula $-(CH_2)_2-X_1-(CH_2)_2-$, wherein X_1 is selected from -O-, -S-, and $-CH_2-$;

and the stereoisomeric forms, mixtures of stereoisomeric forms, or pharmaceutically acceptable salt and ester forms thereof.

3. A compound of the formula (II-A):



(II-A)

wherein

X is a bond, $-CH_2CH_2-$, $-O-$, $-S(O)_y-$, $-N(R_8)-$, $-CHN(R_8)-$, $-CH=CH-$, $-CH_2-CH=CH-$, $C(=O)$, $-C(R_8)=N-$, $-N=C(R_8)-$, $-C(=O)-N(R_8)-$, or $-NR_8-C(=O)-$;

Rings A and B, together with the carbon atoms to which they are attached, are each independently selected from:

a) a 6-membered aromatic carbocyclic ring in which from 1 to 3 carbon atoms may be replaced by hetero atoms selected from oxygen, nitrogen and sulfur; and

b) a 5-membered aromatic carbocyclic ring in which either:

i) one carbon atom is replaced with an oxygen, nitrogen, or sulfur atom;

ii) two carbon atoms are replaced with a sulfur and a nitrogen atom, an oxygen and a nitrogen atom, or two nitrogen atoms; or

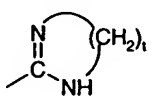
iii) three carbon atoms are replaced with three nitrogen atoms, one oxygen and two nitrogen atoms, or one sulfur and two nitrogen atoms;

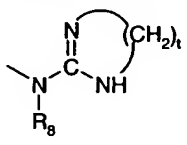
wherein Ring A and Ring B may each be independently substituted with 1-3 substituents selected from:

a) H, C_6-C_{10} aryl, heteroaryl, F, Cl, Br, I, $-CN$, $-CF_3$, $-NO_2$, $-OH$, $-OR_7$, $-O(CH_2)_pNR_9R_{10}$, $-OC(=O)R_7$, $-OC(=O)NR_9R_{10}$, $-O(CH_2)_pOR_8$, $-CH_2OR_8$, $-NR_9R_{10}$, $-NR_8S(=O)_2R_7$, $-NR_8C(=O)R_7$, or $-NR_8C(=S)R_7$;

b) $-CH_2OR_{11}$;

c) $-NR_8C(=O)NR_9R_{10}$, $-NR_8C(=S)NR_9R_{10}$, $-CO_2R_{12}$, $-C(=O)R_{13}$, $-C(=O)NR_9R_{10}$, $-C(=S)NR_9R_{10}$, $-CH=NOR_{12}$, $-CH=NR_7$, $-(CH_2)_pNR_9R_{10}$, $-(CH_2)_pNHR_{11}$, $-CH=NNR_{12}R_{12A}$, $-C(=NR_8)NR_{8A}R_{8B}$ $-NR_8C(=NH)R_{8A}$, -



or


;

$NR_8C(=NH)NR_{8A}R_{8B}$,

d) $-S(O)_yR_7$, $-(CH_2)_pS(O)_yR_7$, $-CH_2S(O)_yR_7$; and

e) C_1-C_8 alkyl, C_2-C_8 alkenyl, or C_2-C_8 alkynyl, where:

1) each alkyl, alkenyl, or alkynyl group is unsubstituted; or

2) each alkyl, alkenyl or alkynyl group is independently substituted with 1 to 3 groups independently selected from C_6-C_{10} aryl, heteroaryl, F, Cl, Br, I, CF_3, -CN, -NO_2, -OH, -OR_7, -CH_2OR_8, -NR_9R_{10}, -O-$(CH_2)_p$-OH, -S-$(CH_2)_p$-OH, -$X_1(CH_2)_pOR_7$, $X_1(CH_2)_pNR_9R_{10}$, -$X_1(CH_2)_pC(=O)NR_9R_{10}$, -$X_1(CH_2)_pC(=S)NR_9R_{10}$, -$X_1(CH_2)_pOC(=O)NR_9R_{10}$, -$X_1(CH_2)_pCO_2R_8$, -$X_1(CH_2)_pS(O)_yR_7$, -$X_1(CH_2)_pNR_8C(=O)NR_9R_{10}$, -$C(=O)R_{13}$, -$CO_2R_{12}$, -$OC(=O)R_7$, -$C(=O)NR_9R_{10}$, -$OC(=O)NR_{12}R_{12A}$, O-tetrahydropyranyl, -$C(=S)NR_9R_{10}$, -$CH=NNR_{12}R_{12A}$, -$CH=NOR_{12}$, -$CH=NR_7$, -$CH=NNHCH(N=NH)NH_2$, -$NR_8CO_2R_7$, -$NR_8C(=O)NR_9R_{10}$, -$NR_8C(=S)NR_9R_{10}$, -$NHC(=NH)NH_2$, -$NR_8C(=O)R_7$, -$NR_8C(=S)R_7$, -$NR_8S(=O)_2R_7$, -$S(O)_yR_7$, -$S(=O)_2NR_{12}R_{12A}$, -$P(=O)(OR_8)_2$, -$OR_{11}$, and a C_5-C_7 monosaccharide where each hydroxyl group of the monosaccharide is independently either unsubstituted or is replaced by H, C_1-C_4 alkyl, C_1-C_4 alkoxy, or -O-$C(=O)R_7$;

R_3 and R_4 are the same or different and are each selected from H, C_1-C_6 alkyl, -OH, -$CH(R_6)$-$CONR_{8A}R_{8B}$, provided that R_3 and R_4 are not both OH, or R_3 and R_4, together with the nitrogen to which they are attached, form a 3-7 member heterocyclic ring;

R_6 is H, C_1-C_4 alkyl or the side chain of an α-amino acid;

R_7 is C_1-C_6 alkyl, C_6-C_{10} aryl, or heteroaryl;

R_8, R_{8A} and R_{8B} are each independently H, C_1-C_4 alkyl, or C_6-C_{10} aryl;

R_9 and R_{10} are independently selected from H, C_1-C_4 alkyl, and C_6-C_{10} aryl; or R_9 and R_{10} together with the nitrogen to which they are attached, form a 3-7 member heterocyclic ring;

R_{11} is the residue of an amino acid after the hydroxyl group of the carboxyl group is removed;

R_{12} and R_{12A} are each independently selected from H, C_1-C_6 alkyl, cycloalkyl, C_6-C_{10} aryl, and heteroaryl; or R_{12} and R_{12A}, together with the nitrogen to which they are attached, form a 5-7 member heterocyclic ring;

R_{13} is H, C_1-C_6 alkyl, cycloalkyl, C_6-C_{10} aryl, heteroaryl, -C(=O)R_7, -C(=O)NR_9R_{10}, or -C(=S)NR_9R_{10};

X_1 is -O-, -S-, -N(R_8)-;

Y is selected from C_1-C_4 alkylene, C_6-C_{10} arylene, heteroarylene, C_3-C_8 cycloalkylene, heterocyclylene, -O-, -N(R_8)-, -S(O)$_y$, -CR_{8A}=CR_{8B}-, -CH=CH-CH(R_8)-, -CH(R_8)-CH=CH-, or -C≡C-; with the proviso that when Y is -O-, -N(R_8)-, or -S(O)$_y$, m and n cannot be 0;

m is 0, 1, 2 or 3;

n is 0, 1, 2 or 3;

p is from 1 to 4;

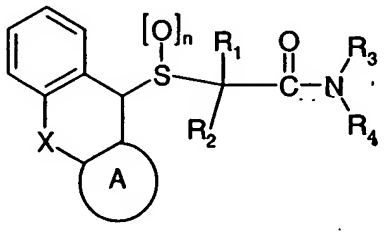
q is 0, 1, 2;

t is 2, 3, or 4;

y is 0, 1 or 2;

and the stereoisomeric forms, mixtures of stereoisomeric forms, or pharmaceutically acceptable salt and ester forms thereof.

4. A compound of the formula (II):



(II)

wherein

X is -(CH_2)$_m$-, -O-, -S(O)$_n$-, -N(R_5)-, -CH=CH-, or -CH_2-CH=CH-;

m is 0, 1, 2 or 3;

n is 0, 1 or 2;

R_1-R_4 are the same or different and are each selected from H, lower alkyl, -OH, -CH(R_6)-$CONR_7R_8$, or any of R_1-R_4 can be taken together to form a 3-7 member carbocyclic or heterocyclic ring;

R_5 is H, lower alkyl, or -OH;

R_6, R_7 and R_8 is H, lower alkyl; and

ring A, together with the carbon atoms to which it is attached is selected from:

a) a 6-membered carbocyclic ring in which from 1 to 3 carbon atoms may be replaced by hetero atoms selected from oxygen, nitrogen and sulfur; and

b) a 5-membered carbocyclic ring in which either:

i) one carbon atom may be replaced with an oxygen, nitrogen, or sulfur atom;

ii) two carbon atoms may be replaced with a sulfur and a nitrogen atom, an oxygen and a nitrogen atom, or two nitrogen atoms; or

iii) three carbon atoms may be replaced with three nitrogen atoms, one oxygen and two nitrogen atoms, or one sulfur and two nitrogen atoms;

and the stereoisomeric forms, mixtures of stereoisomeric forms, or pharmaceutically acceptable salt and ester forms thereof.

5. The compound of claim 1, wherein Ar_1 and Ar_2 are each independently selected from a five or six membered heteroaryl comprising 1-3 atoms of -N-, -O-, or -S-.

6. The compound of claim 5, wherein Ar_1 and Ar_2 are 3-thienyl.

7. The compound of claim 1, wherein Ar_1 is phenyl and Ar_2 is a five or six membered heteroaryl comprising 1-3 atoms of -N-, -O-, or -S-.

8. The compound of claim 1, wherein Ar_1 and Ar_2 are each independently selected from phenyl, thienyl, isothiazolyl, pyridyl, oxazolyl, isoxazolyl, thiazolyl, and imidazolyl.

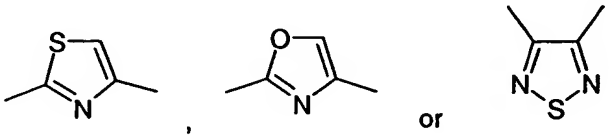
9. The compound of claim 8, wherein Ar_1 and Ar_2 is phenyl.

10. The compound of claim 1, wherein Y is -O-, -$S(O)_y$-, or -$N(R_8)$-.

11. The compound of claim 1, wherein Y is $-CR_{8A}=CR_{8B}-$, $-CH=CH-CH(R_8)-$, $-CH(R_8)-CH=CH-$, or $-C\equiv C-$.

12. The compound of claim 1, wherein Y is C_6-C_{10} arylene or heteroarylene.

13. The compound of claim 12, wherein Y is

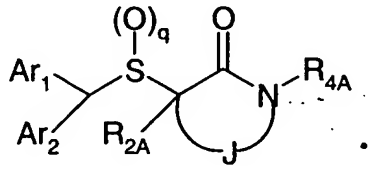


14. The compound of claim 12, wherein Y is phenylene.

15. The compound of claim 1, wherein Y is C_1-C_4 alkylene.

16. The compound of claim 15, wherein Y is C_1 alkylene; and m and n = 0.

17. A compound of formula (V):



(V)

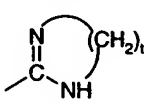
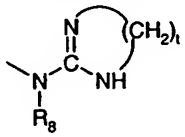
wherein:

Ar_1 and Ar_2 are each independently selected from C_6-C_{10} aryl or heteroaryl;

wherein each of Ar_1 or Ar_2 may be independently optionally substituted with 1-3 substituents independently selected from:

a) H, C_6-C_{10} aryl, heteroaryl, F, Cl, Br, I, -CN, $-CF_3$, $-NO_2$, -OH, $-OR_7$, $-O(CH_2)_pNR_9R_{10}$, $-OC(=O)R_7$, $-OC(=O)NR_9R_{10}$, $-O(CH_2)_pOR_8$, $-CH_2OR_8$, $-NR_9R_{10}$, $-NR_8S(=O)_2R_7$, $-NR_8C(=O)R_7$, or $-NR_8C(=S)R_7$;

b) $-CH_2OR_{11}$;

c) $-NR_8C(=O)NR_9R_{10}$, $-NR_8C(=S)NR_9R_{10}$, $-CO_2R_{12}$, $-C(=O)R_{13}$, $-C(=O)NR_9R_{10}$, $-C(=S)NR_9R_{10}$, $-CH=NOR_{12}$, $-CH=NR_7$, $-(CH_2)_pNR_9R_{10}$, $-(CH_2)_pNHR_{11}$, $-CH=NNR_{12}R_{12A}$, $-C(=NR_8)NR_{8A}R_{8B}$ $-NR_8C(=NH)R_{8A}$,  or  ; $NR_8C(=NH)NR_{8A}R_{8B}$,

d) $-S(O)_yR_7$, $-(CH_2)_pS(O)_yR_7$, $-CH_2S(O)_yR_7$; and

e) C_1-C_8 alkyl, C_2-C_8 alkenyl, or C_2-C_8 alkynyl, where:

1) each alkyl, alkenyl, or alkynyl group is unsubstituted; or

2) each alkyl, alkenyl or alkynyl group is independently substituted with 1 to 3 groups independently selected from C_6-C_{10} aryl, heteroaryl, F, Cl, Br, I, CF_3, -CN, $-NO_2$, -OH, $-OR_7$, $-CH_2OR_8$, $-NR_9R_{10}$, $-O-(CH_2)_p-OH$, $-S-(CH_2)_p-OH$, $-X_1(CH_2)_pOR_7$, $X_1(CH_2)_pNR_9R_{10}$, $-X_1(CH_2)_pC(=O)NR_9R_{10}$, $-X_1(CH_2)_pC(=S)NR_9R_{10}$, $-X_1(CH_2)_pOC(=O)NR_9R_{10}$, $-X_1(CH_2)_pCO_2R_8$, $-X_1(CH_2)_pS(O)_yR_7$, $-X_1(CH_2)_pNR_8C(=O)NR_9R_{10}$, $-C(=O)R_{13}$, $-CO_2R_{12}$, $-OC(=O)R_7$, $-C(=O)NR_9R_{10}$, $-OC(=O)NR_{12}R_{12A}$, O-tetrahydropyranyl, $-C(=S)NR_9R_{10}$, $-CH=NNR_{12}R_{12A}$, $-CH=NOR_{12}$, $-CH=NR_7$, $-CH=NNHCH(N=NH)NH_2$, $-NR_8CO_2R_7$, $-NR_8C(=O)NR_9R_{10}$, $-NR_8C(=S)NR_9R_{10}$, $-NHC(=NH)NH_2$, $-NR_8C(=O)R_7$, $-NR_8C(=S)R_7$, $-NR_8S(=O)_2R_7$, $-S(O)_yR_7$, $-S(=O)_2NR_{12}R_{12A}$, $-P(=O)(OR_8)_2$, $-OR_{11}$, and a C_5-C_7 monosaccharide where each hydroxyl group of the monosaccharide is independently either unsubstituted or is replaced by H, C_1-C_4 alkyl, C_1-C_4 alkoxy, or $-O-C(=O)R_7$;

X_1 is -O-, -S-, $-N(R_8)-$;

J is C_2-C_4 alkylene or Q-CO-;

Q is C_1-C_3 alkylene;

R_{2A} is H, C_1-C_6 alkyl, aryl or heteroaryl;

R_{4A} is H, C_1-C_6 alkyl, aryl or heteroaryl;

R_7 is C_1-C_6 alkyl, C_6-C_{10} aryl, or heteroaryl;

R_8, R_{8A} and R_{8B} are each independently H, C_1-C_4 alkyl, or C_6-C_{10} aryl;

R_9 and R_{10} are independently selected from H, C_1-C_4 alkyl, and C_6-C_{10} aryl; or R_9 and R_{10} together with the nitrogen to which they are attached, form a 3-7 member heterocyclic ring;

R_{11} is the residue of an amino acid after the hydroxyl group of the carboxyl group is removed;

R_{12} and R_{12A} are each independently selected from H, C_1-C_6 alkyl, cycloalkyl, C_6-C_{10} aryl, and heteroaryl; or R_{12} and R_{12A}, together with the nitrogen to which they are attached, form a 5-7 member heterocyclic ring;

R_{13} is H, C_1-C_6 alkyl, cycloalkyl, C_6-C_{10} aryl, heteroaryl, -C(=O)R_7, -C(=O)NR_9R_{10}, or -C(=S)NR_9R_{10};

p is from 1, 2, 3, or 4;

q is 0, 1, or 2;

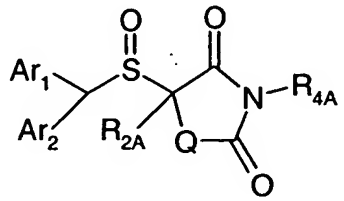
t is 2, 3, or 4;

y is 0, 1 or 2;

and the stereoisomeric forms, mixtures of stereoisomeric forms, or pharmaceutically acceptable salt and ester forms thereof.

18. The compound of claim 17, wherein Ar_1 and Ar_2 are phenyl and q=1.

19. The compound of claim 17, wherein q is 1 and J is Q-CO to form a compound of formula (VI):



(VI)

20. The compound of claim 1, wherein q=1.

21. The compound of claim 1, wherein Ar_1 and Ar_2 are each independently selected from phenyl and 3-thienyl, and q=1.

22. The compound of claim 1, wherein the compounds are selected in accordance with Table 1.

23. The compound of claim 19, wherein the compounds are selected in accordance with Table 2A.

24. The composition of claim 2 wherein Ar_1 and Ar_2 are the same or different and are each selected from thiophene, isothiazole, phenyl, oxazole, isoxazole, thiazole, and imidazole.

25. The compound of claim 3, wherein q=1.

26. The compound of claim 3, wherein rings A and B, together with the carbon atoms to which they are attached, are each independently selected from phenylene, thienylene, isothiazolylene, pyridylene, oxazolylene, isoxazolylene, thiazolylene, imidazolylene.

27. The compound of claim 26, wherein ring A is phenylene.

28. The compound of claim 27, wherein ring B is phenylene.

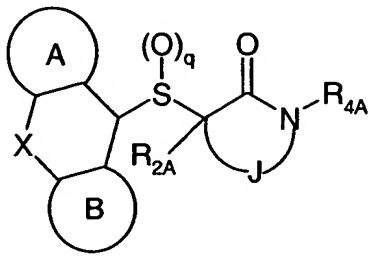
29. The compound of claim 3, wherein X is a bond, $-CH_2CH_2-$, -O-, $-N(CH_3)-$, or -CH=CH-.

30. The compound of claim 3, wherein Y is phenylene.

31. The compound of claim 3, wherein Y is C_1-C_4 alkylene.

32. The compound of claim 3, wherein rings A and B are phenylene; X is a bond; Y is C_1 alkylene; and m and n = 0.

33. A compound of formula (VII):



(VII)

wherein

X is a bond, $-CH_2CH_2-$, -O-, $-S(O)_y-$, $-N(R_8)-$, $-CHN(R_8)-$, -CH=CH-, $-CH_2-CH=CH-$, C(=O), $-C(R_8)=N-$, $-N=C(R_8)-$, $-C(=O)-N(R_8)-$, or $-NR_8-C(=O)-$;

Rings A and B, together with the carbon atoms to which they are attached, are each independently selected from:

a) a 6-membered aromatic carbocyclic ring in which from 1 to 3 carbon atoms may be replaced by hetero atoms selected from oxygen, nitrogen and sulfur; and

b) a 5-membered aromatic carbocyclic ring in which either:

i) one carbon atom is replaced with an oxygen, nitrogen, or sulfur atom;

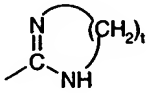
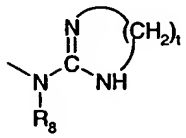
ii) two carbon atoms are replaced with a sulfur and a nitrogen atom, an oxygen and a nitrogen atom, or two nitrogen atoms; or

iii) three carbon atoms are replaced with three nitrogen atoms, one oxygen and two nitrogen atoms, or one sulfur and two nitrogen atoms;

wherein Ring A and Ring B may each independently be substituted with 1-3 substituents selected from:

a) H, C_6-C_{10} aryl, heteroaryl, F, Cl, Br, I, -CN, $-CF_3$, $-NO_2$, -OH, $-OR_7$, $-O(CH_2)_pNR_9R_{10}$, $-OC(=O)R_7$, $-OC(=O)NR_9R_{10}$, $-O(CH_2)_pOR_8$, $-CH_2OR_8$, $-NR_9R_{10}$, $-NR_8S(=O)_2R_7$, $-NR_8C(=O)R_7$, or $-NR_8C(=S)R_7$;

b) $-CH_2OR_{11}$;

c) $-NR_8C(=O)NR_9R_{10}$, $-NR_8C(=S)NR_9R_{10}$, $-CO_2R_{12}$, $-C(=O)R_{13}$, $-C(=O)NR_9R_{10}$, $-C(=S)NR_9R_{10}$, $-CH=NOR_{12}$, $-CH=NR_7$, $-(CH_2)_pNR_9R_{10}$, $-(CH_2)_pNHR_{11}$, $-CH=NNR_{12}R_{12A}$, $-C(=NR_8)NR_{8A}R_{8B}$ $-NR_8C(=NH)R_{8A}$,  or  ; $NR_8C(=NH)NR_{8A}R_{8B}$,

d) $-S(O)_yR_7$, $-(CH_2)_pS(O)_yR_7$, $-CH_2S(O)_yR_7$; and

e) C_1-C_8 alkyl, C_2-C_8 alkenyl, or C_2-C_8 alkynyl, where:

1) each alkyl, alkenyl, or alkynyl group is unsubstituted; or

2) each alkyl, alkenyl or alkynyl group is independently substituted with 1 to 3 groups independently selected from C_6-C_{10} aryl, heteroaryl, F, Cl, Br, I, CF_3, -CN, $-NO_2$, -OH, $-OR_7$, $-CH_2OR_8$, $-NR_9R_{10}$, $-O-(CH_2)_p-OH$, $-S-(CH_2)_p-OH$, $-X_1(CH_2)_pOR_7$, $X_1(CH_2)_pNR_9R_{10}$, $-X_1(CH_2)_pC(=O)NR_9R_{10}$, $-X_1(CH_2)_pC(=S)NR_9R_{10}$, $-X_1(CH_2)_pOC(=O)NR_9R_{10}$, $-X_1(CH_2)_pCO_2R_8$, $-X_1(CH_2)_pS(O)_yR_7$, $-X_1(CH_2)_pNR_8C(=O)NR_9R_{10}$, $-C(=O)R_{13}$, $-CO_2R_{12}$, $-OC(=O)R_7$, $-C(=O)NR_9R_{10}$, $-OC(=O)NR_{12}R_{12A}$, O-tetrahydropyranyl, $-C(=S)NR_9R_{10}$, $-CH=NNR_{12}R_{12A}$, $-CH=NOR_{12}$, $-CH=NR_7$, $-CH=NNHCH(N=NH)NH_2$, $-NR_8CO_2R_7$, $-NR_8C(=O)NR_9R_{10}$, $-NR_8C(=S)NR_9R_{10}$, $-NHC(=NH)NH_2$, $-NR_8C(=O)R_7$, $-NR_8C(=S)R_7$, $-NR_8S(=O)_2R_7$, $-S(O)_yR_7$, $-S(=O)_2NR_{12}R_{12A}$, $-P(=O)(OR_8)_2$, $-OR_{11}$, and a C_5-C_7 monosaccharide where each hydroxyl group of the monosaccharide is independently either unsubstituted or is replaced by H, C_1-C_4 alkyl, C_1-C_4 alkoxy, or $-O-C(=O)R_7$;

J is C_2-C_4 alkylene or Q-CO-;

Q is C_1-C_3 alkylene;

R_{2A} is H, C_1-C_6 alkyl, aryl or heteroaryl;

R_{4A} is H, C_1-C_6 alkyl, aryl or heteroaryl;

R_7 is C_1-C_6 alkyl, C_6-C_{10} aryl, or heteroaryl;

R_8, R_{8A} and R_{8B} are each independently H, C_1-C_4 alkyl, or C_6-C_{10} aryl;

R_9 and R_{10} are independently selected from H, C_1-C_4 alkyl, and C_6-C_{10} aryl; or R_9 and R_{10} together with the nitrogen to which they are attached, form a 3-7 member heterocyclic ring;

R_{11} is the residue of an amino acid after the hydroxyl group of the carboxyl group is removed;

R_{12} and R_{12A} are each independently selected from H, C_1-C_6 alkyl, cycloalkyl, C_6-C_{10} aryl, and heteroaryl; or R_{12} and R_{12A}, together with the nitrogen to which they are attached, form a 5-7 member heterocyclic ring;

R_{13} is H, C_1-C_6 alkyl, cycloalkyl, C_6-C_{10} aryl, heteroaryl, -C(=O)R_7, -C(=O)NR_9R_{10}, or -C(=S)NR_9R_{10};

X_1 is -O-, -S-, -N(R_8)-;

p is from 1 to 4;

q is 0, 1, or 2;

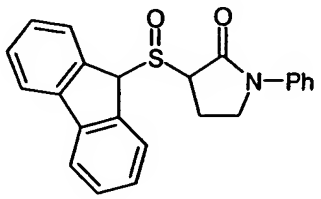
t is 2, 3, or 4;

y is 0, 1 or 2;

and the stereoisomeric forms, mixtures of stereoisomeric forms, or pharmaceutically acceptable salt and ester forms thereof.

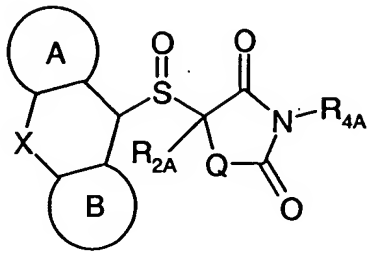
34. The compound of claim 33, wherein rings A and B are benzo; X is a bond or –O- and q=1.

35. The compound of claim 34, having the formula (VII-1):



(VII-1)

36. The compound of claim 33, wherein q is 1; and J is Q-CO- to form a compound of formula (VIII):



(VIII)

37. The compound of claim 36, wherein rings A and B are benzo; and X is a bond or –O-.

38. The compound of claim 3, wherein the compounds are selected in accordance with Table 2.

39. The compound of claim 36, wherein the compounds are selected in accordance with Table 2B.

40. The compound of claim 4, wherein ring A is selected from thiophene, isothiazole, phenyl, oxazole, isoxazole, thiazole, and imidazole.

41. A method of treating diseases or disorders in a subject in need thereof comprising administering a therapeutically effective amount of a compound of claims 1, 2, 3, 4, 17 or 33 to said subject.

42. The method of claim 41, wherein the compound is administered for the treatment of sleepiness, tiredness, Parkinson's disease, cerebral ischemia, stroke, sleep apneas, eating disorders, attention deficit hyperactivity disorder, cognitive dysfunction or fatigue; and for the promotion of wakefulness, stimulation of appetite, or stimulation of weight gain.

43. The method of claim 41, wherein the compound is administered for the treatment of disorders associated with hypofunctionality of the cerebral cortex.

44. The method of claim 43, wherein the compound is administered for the treatment of depression, schizophrenia, and chronic fatigue syndrome.

45. A pharmaceutical composition comprising a compound of claims 1, 2, 3, 4, 17 or 33 in admixture with one or more pharmaceutically acceptable excipients.